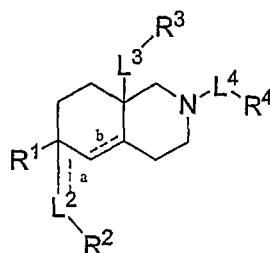


WHAT IS CLAIMED IS:

1. A compound having the formula:



(I)

wherein:

L<sup>2</sup> and L<sup>4</sup> are members independently selected from a bond, substituted or unsubstituted alkylene, and substituted or unsubstituted heteroalkylene;  
 L<sup>3</sup> is a member selected from a bond, substituted or unsubstituted alkylene, substituted or unsubstituted heteroalkylene, -C(O)-, -C(O)NH-, and -S(O)<sub>u</sub>-, wherein u is 0, 1, or 2;

the dashed lines a and b are optionally a bond, wherein if R<sup>2</sup> is =O, =N-OR<sup>2A</sup>, or =CR<sup>2B</sup>R<sup>2C</sup>, then R<sup>1</sup> is absent, L<sup>2</sup> is a bond, and a is a bond attached directly to R<sup>2</sup>;

R<sup>1</sup> is absent or a member selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl;

R<sup>2</sup> is a member selected from =O, =N-OR<sup>2A</sup>, =CR<sup>2B</sup>R<sup>2C</sup>, hydrogen, -OR<sup>2D</sup>, -C(O)R<sup>2D</sup>, -C(O)NR<sup>2E</sup>R<sup>2F</sup>, -NR<sup>2E</sup>R<sup>2F</sup>, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl, wherein R<sup>2A</sup>, R<sup>2B</sup>, R<sup>2C</sup> and R<sup>2D</sup> are members independently selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl;  
 R<sup>2E</sup> and R<sup>2F</sup> are members independently selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted

heteroalkyl, substituted, or unsubstituted aryl, substituted or unsubstituted heterocycloalkyl,  $-S(O)_m R^{2E1}$  and  $-S(O)_m NR^{2E2} R^{2E3}$ , wherein  $R^{2E}$  and  $R^{2F}$  are optionally joined to form a substituted or unsubstituted ring with the nitrogen to which they are attached, and  $R^{2E1}$ ,  $R^{2E2}$ , and  $R^{2E3}$  are members independently selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl, and  $m$  is 0, 1, or 2, wherein  $R^2$  and  $R^1$  are optionally joined to form a substituted or unsubstituted ring;  $R^3$  is a member selected from substituted or unsubstituted higher alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl,  $-OR^{3A}$ , and  $-NR^{3B} R^{3C}$ , wherein  $R^{3A}$ ,  $R^{3B}$ , and  $R^{3C}$  are independently members selected from substituted or unsubstituted higher alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl, wherein  $R^{3B}$  and  $R^{3C}$  are optionally joined to form a substituted or unsubstituted ring with the nitrogen to which they are attached;  $R^4$  is a member selected from substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl,  $-S(O)_n R^{4A}$ ,  $-S(O)_n NR^{4B} R^{4C}$ ,  $-C(O)R^{4A}$ ,  $-C(O)OR^{4A}$ ,  $-C(O)NR^{4B} R^{4C}$ ,  $=NOR^{4D}$ , and  $=NOR^{4E} NR^{4F}$ , wherein

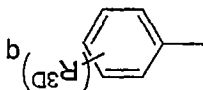
$R^{4A}$ ,  $R^{4B}$ ,  $R^{4C}$ ,  $R^{4D}$ ,  $R^{4E}$ , and  $R^{4F}$  are members independently selected from substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, and

$t$  is 0, 1, or 2.

2. The compound of claim 1, wherein  $R^2$  is a member selected from  $=O$ ,  $=N-OR^{2A}$ ,  $-OR^{2D}$ ,  $-NR^{2E}R^{2F}$ , substituted or unsubstituted ( $C_1-C_{10}$ ) alkyl, substituted or unsubstituted 2-10 membered heteroalkyl, substituted or unsubstituted ( $C_3-C_7$ ) cycloalkyl, substituted or unsubstituted 3-7 membered heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl, wherein  $R^{2A}$  and  $R^{2D}$  are members independently selected from hydrogen and substituted or unsubstituted ( $C_1-C_{10}$ ) alkyl, and  $R^{2E}$  and  $R^{2F}$  are members independently selected from hydrogen and substituted or unsubstituted ( $C_1-C_{10}$ ) alkyl.
3. The compound of claim 1, wherein  $R^2$  is a member selected from  $=O$ ,  $=N-OR^{2A}$ , and  $-OR^{2D}$ , wherein  $R^{2A}$  and  $R^{2D}$  are members independently selected from hydrogen and unsubstituted ( $C_1-C_5$ ) alkyl.
4. The compound of claim 1, wherein  $R^2$  is  $=O$  and the dashed line  $b$  is a bond.
5. The compound of claim 1, wherein  $R^1$  is absent or is a member selected from hydrogen and substituted or unsubstituted alkyl.
6. The compound of claim 1, wherein  $R^1$  is absent or is a member selected from hydrogen, methyl, and  $-C \equiv C-CH_3$ .
7. The compound of claim 1, wherein  $R^1$  is absent.
8. The compound of claim 1, wherein  $R^3$  is a member selected from substituted or unsubstituted ( $C_1-C_{10}$ ) alkyl, substituted or unsubstituted 2-10 membered heteroalkyl, substituted or unsubstituted ( $C_3-C_7$ ) cycloalkyl, substituted or unsubstituted 3-7 membered

heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl.

9. The compound of claim 1, wherein R<sup>3</sup> has the formula:



(III)

wherein

q is an integer selected from 1 to 5; and  
 R<sup>3D</sup> is a member independently selected from hydrogen, halogen, -OH, -COOH, -CF<sub>3</sub>, -NH<sub>2</sub>, -SH, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, -NR<sup>3D1</sup>R<sup>3D2</sup>, -OR<sup>3D3</sup>, -C(O)NR<sup>3D4</sup>R<sup>3D5</sup>, and -C(O)R<sup>3D6</sup>, wherein R<sup>3D1</sup>, R<sup>3D2</sup>, R<sup>3D3</sup>, R<sup>3D4</sup>, R<sup>3D5</sup>, and R<sup>3D6</sup> are members independently selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl, wherein R<sup>3D1</sup> and R<sup>3D2</sup> are optionally joined to form a substituted or unsubstituted ring with the nitrogen to which they are attached, and R<sup>3D4</sup> and R<sup>3D5</sup> are optionally joined to form a substituted or unsubstituted ring with the nitrogen to which they are attached.

10.

The compound of claim 9, wherein

q is an integer selected from 1 to 3; and  
 R<sup>3D</sup> is a member independently selected from hydrogen, substituted alkyl, substituted or unsubstituted heteroalkyl, substituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted aryl, and substituted or unsubstituted heteroaryl.

11.

The compound of claim 9, wherein

R<sup>3D</sup> is a member independently selected from hydrogen, R<sup>3D7</sup>-substituted (C<sub>1</sub>-C<sub>10</sub>) alkyl, R<sup>3D7</sup>-substituted or unsubstituted 2-10 membered heteroalkyl, R<sup>3D7</sup>-substituted or unsubstituted (C<sub>3</sub>-C<sub>8</sub>) cycloalkyl, R<sup>3D7</sup>-

heteroalkyl, unsubstituted (C<sub>3</sub>-C<sub>8</sub>) cycloalkyl, unsubstituted 3-8 membered heterocycloalkyl, unsubstituted aryl, and unsubstituted heteroaryl, and

R<sup>3D10</sup> is a member selected from halogen, -OH, -COOH, -CF<sub>3</sub>, -NH<sub>2</sub>, -SH, unsubstituted (C<sub>1</sub>-C<sub>10</sub>) alkyl, unsubstituted 2-10 membered heteroalkyl, unsubstituted (C<sub>3</sub>-C<sub>8</sub>) cycloalkyl, unsubstituted 3-8 membered heterocycloalkyl, unsubstituted aryl, and unsubstituted heteroaryl.

12. The compound of claim 11, wherein R<sup>3</sup> has the formula:



wherein

R<sup>3D</sup> is a member selected from hydrogen, R<sup>3D7</sup>-substituted (C<sub>1</sub>-C<sub>5</sub>) alkyl, R<sup>3D7</sup>-substituted or unsubstituted 2-5 membered heteroalkyl, R<sup>3D7</sup>-substituted (C<sub>5</sub>-C<sub>7</sub>) cycloalkyl, R<sup>3D7</sup>-substituted or unsubstituted 5-7 membered heterocycloalkyl, R<sup>3D8</sup>-substituted aryl, R<sup>3D8</sup>-substituted or unsubstituted heteroaryl, -NR<sup>3D1</sup>R<sup>3D2</sup>, -OR<sup>3D3</sup>, -C(O)NR<sup>3D4</sup>R<sup>3D5</sup>, and -C(O)R<sup>3D6</sup>.

13. The compound of claim 12, wherein R<sup>3D</sup> is a member selected from -NR<sup>3D1</sup>R<sup>3D2</sup>, -OR<sup>3D3</sup>, -C(O)NR<sup>3D4</sup>R<sup>3D5</sup>, and R<sup>3D7</sup>-substituted or unsubstituted heteroaryl comprising a ring nitrogen, wherein

R<sup>3D1</sup> and R<sup>3D2</sup> are members independently selected from hydrogen, R<sup>3D7</sup>-substituted alkyl, R<sup>3D7</sup>-substituted or unsubstituted heteroalkyl, R<sup>3D7</sup>-substituted or unsubstituted heterocycloalkyl, and R<sup>3D8</sup>-substituted or unsubstituted heteroaryl,

wherein R<sup>3D1</sup> and R<sup>3D2</sup> are optionally joined with the nitrogen to which they are attached to form a R<sup>3D7</sup>-substituted or unsubstituted heterocycloalkyl, or R<sup>3D8</sup>-substituted or unsubstituted heteroaryl, wherein said ring optionally comprises an additional ring heteroatom; and

R<sup>3D3</sup>, R<sup>3D4</sup> and R<sup>3D5</sup> are members independently selected from hydrogen,



$R^{3D7}$ -substituted or unsubstituted heteroalkyl comprising a nitrogen heteroatom,  
 $R^{3D7}$ -substituted or unsubstituted heterocycloalkyl comprising a ring nitrogen,  
 $R^{3D8}$ -substituted or unsubstituted heteroaryl comprising a ring nitrogen,  
and  
alkyl substituted with a  $R^{3D9}$ -substituted or unsubstituted heteroalkyl comprising a nitrogen heteroatom,  $R^{3D9}$ -substituted or unsubstituted heterocycloalkyl comprising a ring nitrogen, or  $R^{3D10}$ -substituted or unsubstituted heteroaryl comprising a ring nitrogen,  
wherein  $R^{3D4}$  and  $R^{3D5}$  are optionally joined with the nitrogen to which they are attached to form a  $R^{3D7}$ -substituted or unsubstituted heterocycloalkyl, or  $R^{3D8}$ -substituted or unsubstituted heteroaryl, wherein said ring optionally comprises a heteroatom.

14. The compound of claim 13, wherein  $R^{3D1}$  and  $R^{3D2}$ , and  $R^{3D4}$  and  $R^{3D5}$  are optionally joined with the nitrogen to which they are attached to form a  $R^{3D7}$ -substituted or unsubstituted heterocycloalkyl comprising an additional heteroatom, or  $R^{3D8}$ -substituted or unsubstituted heteroaryl comprising an additional heteroatom.

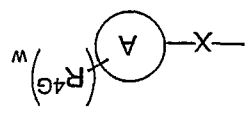
15. The compound of claim 14, wherein  $R^{3D1}$  and  $R^{3D2}$ , and  $R^{3D4}$  and  $R^{3D5}$  are optionally joined with the nitrogen to which they are attached to form a  $R^{3D8}$ -substituted or unsubstituted oxazolyl, imidazolyl, thiazolyl, isooxazolyl, pyrazolyl, isothiazolyl, purinyl, pyradiziny, pyrimidinyl, pyrazinyl, or quinoxaliny.

16. The compound of claim 1, wherein  $R^4$  is a member selected from substituted or unsubstituted ( $C_1$ - $C_{10}$ ) alkyl, substituted or unsubstituted 2-10 membered heteroalkyl, substituted or unsubstituted ( $C_3$ - $C_7$ ) cycloalkyl, substituted or unsubstituted 3-7 membered heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl.

17. The compound of claim 1, wherein  $R^{4A}$ ,  $R^{4B}$ ,  $R^{4C}$ ,  $R^{4D}$ ,  $R^{4E}$ , and  $R^{4F}$  are members independently selected from substituted or unsubstituted ( $C_1$ - $C_{10}$ ) alkyl,

substituted or unsubstituted 2-10 membered heteroalkyl, substituted or unsubstituted (C<sub>3</sub>-C<sub>7</sub>) cycloalkyl, substituted or unsubstituted 3-7 membered heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl.

18. The compound of claim 1, wherein R<sup>4</sup> has the formula:



(V)

wherein

R<sup>4G</sup> is a member independently selected from hydrogen, halogen, -OH, -COOH, -CF<sub>3</sub>, -NH<sub>2</sub>, -SH, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl;

A is a substituted or unsubstituted ring selected from substituted or unsubstituted (C<sub>3</sub>-C<sub>7</sub>) cycloalkyl, substituted or unsubstituted 3-7 membered heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl;

X is a member selected from a bond, -S(O)<sup>v</sup>-, and -S(O)<sup>v</sup>NR<sup>4t</sup>-, wherein R<sup>4t</sup> is a member selected from hydrogen, substituted or unsubstituted alkyl, and substituted or unsubstituted heteroalkyl, and v is 0, 1, or 2; and

w is an integer from 1 to 5.

19.

The compound of claim 18, wherein

R<sup>4G</sup> is a member independently selected from hydrogen, halogen, -OH, -COOH, -CF<sub>3</sub>, -NH<sub>2</sub>, -SH, R<sup>4G1</sup>-substituted or unsubstituted alkyl, R<sup>4G1</sup>-substituted or unsubstituted heteroalkyl, R<sup>4G1</sup>-substituted or unsubstituted cycloalkyl, R<sup>4G1</sup>-substituted or unsubstituted heterocycloalkyl, R<sup>4G2</sup>-substituted or unsubstituted aryl, and R<sup>4G2</sup>-substituted or unsubstituted heteroaryl, wherein

R<sup>4G1</sup> is a member selected from halogen, oxo, -OH, -COOH, -CF<sub>3</sub>, -NH<sub>2</sub>, -SH, R<sup>4G3</sup>-substituted or unsubstituted (C<sub>1</sub>-C<sub>10</sub>) alkyl, R<sup>4G3</sup>-substituted or unsubstituted 2-10 membered heteroalkyl, R<sup>4G3</sup>-substituted or unsubstituted (C<sub>3</sub>-C<sub>8</sub>) cycloalkyl, R<sup>4G3</sup>-substituted or unsubstituted 3-



8 membered heterocycloalkyl,  $R^{4G4}$ -substituted or unsubstituted aryl, and  $R^{4G4}$ -substituted or unsubstituted heteroaryl, and

$R^{4G2}$  is a member selected from halogen, -OH, -COOH, -CF<sub>3</sub>, -NH<sub>2</sub>, -SH,  $R^{4G3}$ -substituted or unsubstituted (C<sub>1</sub>-C<sub>10</sub>) alkyl,  $R^{4G3}$ -substituted or unsubstituted 2-10 membered heteroalkyl,  $R^{4G3}$ -substituted or unsubstituted (C<sub>3</sub>-C<sub>8</sub>) cycloalkyl,  $R^{4G3}$ -substituted or unsubstituted 3-8 membered heterocycloalkyl,  $R^{4G4}$ -substituted or unsubstituted aryl, and  $R^{4G4}$ -substituted or unsubstituted heteroaryl,

$R^{4G3}$  is a member selected from halogen, oxo, -OH, -COOH, -CF<sub>3</sub>, -NH<sub>2</sub>, -SH, unsubstituted (C<sub>1</sub>-C<sub>10</sub>) alkyl, unsubstituted 2-10 membered heteroalkyl, unsubstituted (C<sub>3</sub>-C<sub>8</sub>) cycloalkyl, unsubstituted 3-8 membered heterocycloalkyl, unsubstituted aryl, and unsubstituted heteroaryl, and

$R^{4G4}$  is a member selected from halogen, -OH, -COOH, -CF<sub>3</sub>, -NH<sub>2</sub>, -SH, unsubstituted (C<sub>1</sub>-C<sub>10</sub>) alkyl, unsubstituted 2-10 membered heteroalkyl, unsubstituted (C<sub>3</sub>-C<sub>8</sub>) cycloalkyl, unsubstituted 3-8 membered heterocycloalkyl, unsubstituted aryl, and unsubstituted heteroaryl.

20. The compound of claim 19, wherein A is a member selected from phenyl, pyrazolyl, furanyl, imidazolyl, isoxazolyl, oxadiazolyl, oxazolyl, pyrrolyl, pyridyl, pyrazyl, pyrimidyl, pyridazinyl, thiazolyl, isothioazolyl, triazolyl, thienyl, triazinyl, thiadiazolyl, dioxolanyl, dioxanyl, trioxanyl, tetrahydrothienyl, tetrahydrofuranyl, tetrahydrothiophenyl, tetrahydropyranyl, tetrahydrothiopyranyl, pyrrolidiny, morpholino, piperidiny, and piperazinyl.

21. The compound of claim 18, wherein

$R^{4G}$  is selected from hydrogen, substituted (C<sub>1</sub>-C<sub>5</sub>) alkyl, substituted or unsubstituted 2-5 membered heteroalkyl, substituted (C<sub>5</sub>-C<sub>7</sub>)cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted aryl, and substituted or unsubstituted heteroaryl;

A is a substituted or unsubstituted ring selected from substituted or unsubstituted 3-7 membered heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl; and

$R^{4I}$  is hydrogen.

22. The compound of claim 18, wherein  $R^{4G}$  is a branched or unbranched  $(C_1-C_{10})$ alkyl.

23. The compound of claim 18, wherein X is  $-S(O)_z-$ .

24. The compound of claim 1, wherein .

$L_2$ ,  $L_3$  and  $L_4$  are members independently selected from a bond and unsubstituted  $(C_1-C_5)$  alkylene.

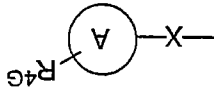
25. The compound of claim 1 wherein

the dashed line b is a bond;

$R^2$  is  $=O$ ;

$R^3$  is substituted or unsubstituted benzyl;

$R^4$  has the formula:



(V)

wherein

$R^{4G}$  is a member selected from substituted or unsubstituted alkyl,

substituted or unsubstituted heteroalkyl, substituted or

unsubstituted cycloalkyl, substituted or unsubstituted

heterocycloalkyl, substituted or unsubstituted aryl, and

substituted or unsubstituted heteroaryl,

A is a substituted or unsubstituted ring selected from substituted or

unsubstituted  $(C_3-C_7)$  cycloalkyl, substituted or unsubstituted

3-7 membered heterocycloalkyl, substituted or unsubstituted

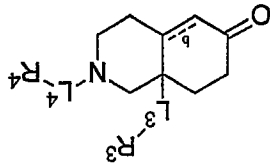
aryl, and substituted or unsubstituted heteroaryl, and

X is  $-S(O)_z-$ ;

$L_3$  is a bond; and

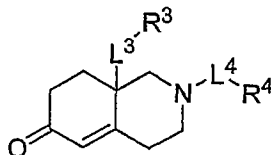
$L_4$  is a bond.

26. The compound of claim 1 having the formula:



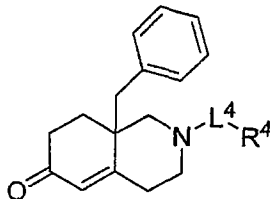
(III).

27. The compound of claim 1 having the formula:



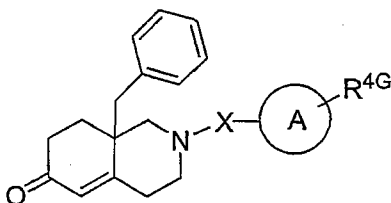
(VIII).

28. The compound of claim 1 having the formula:



(XI).

29. The compound of claim 1 having the formula:



(X)

wherein

$R^{4G}$  is a member selected from substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl;

A is a substituted or unsubstituted ring selected from substituted or unsubstituted ( $C_3-C_7$ ) cycloalkyl, substituted or unsubstituted 3-7 membered heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl; and

X is a member selected from a bond,  $-S(O)_2-$ , and  $-S(O)_2NR^{4I}-$ , wherein  $R^{4I}$  is a member selected from hydrogen, substituted or unsubstituted alkyl, and substituted or unsubstituted heteroalkyl.

30. A method of treating a disorder or condition through modulating a glucocorticoid receptor, the method comprising administering to a subject in need of such treatment, an effective amount of the compound of claim 1.

31. A method of treating a disorder or condition through antagonizing a glucocorticoid receptor, the method comprising administering to a subject in need of such treatment, an effective amount of the compound of claim 1.
32. A method of modulating a glucocorticoid receptor including the steps of contacting a glucocorticoid receptor with the compound of claim 1 and detecting a change in the activity of the glucocorticoid receptor.
33. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and the compound of claim 1.